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| • | SEARCH REQUES | r form |
|---|---|---|
| Requester's Full Name: | Number: 2- 0663 (Mailbox #): 5C18 Results *********************************** | iner # : <u>59193</u> Date: <u>6/23/06</u> Serial Number: <u>10532753</u> C2 Format Preferred (circle): PAPER DISK ************************************ |
| To ensure an efficient and quality search, | please attach a copy of the cover sheet | , claims, and abstract or fill out the following: |
| Title of Invention: | | |
| Inventors (please provide full names): | | |
| Earliest Priority Date: | | |
| elected species or structures, Reywords, syn | neaning. Give examples or relevant cita | o as possible the subject matter to be searched. Include the s, and combine with the concept or utility of the invention. tions, authors, etc., if known. |
| *For Sequence Searches Only* Please incappropriate serial number. | dude all pertinent information (parent, | child, divisional, or issued patent numbers) along with the |
| • / | All Bibs Cofdinin | amorphous (C12) |
| ************************************** | Type of Search NA Sequence (#) | Vendors and cost where applicable STNDialog Questel/Orbit Lexis/Nexis |
| Searche: Location: | Structure (#) | |
| Date Searcher Picked Up: | Bibliographic | CommercialOligomerScore/Length |
| Date Completed: | | Interference SPDI Encode Hansi Other (specify) |
| Searcher Prep & Review Time: | Other | |
| Online Time. | | |

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ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                                                 2004:453223 HCAPLUS
DOCUMENT NUMBER:
                                                 141:6966
ENTRY DATE:
                                                  Entered STN: 04 Jun 2004
TITLE:
                                                   Process for preparing cefdinir and its amorphous
                                                   hydrate
INVENTOR(S):
                                                   Deshpande, Pandurang Balwant; Khadangale, Bhausaheb
                                                   Pandharinath; Ramasubbu, Chandrasekaran
PATENT ASSIGNEE(S):
                                                   Orchid Chemicals & Pharmaceuticals Ltd., India
SOURCE:
                                                   PCT Int. Appl., 26 pp.
                                                   CODEN: PIXXD2
DOCUMENT TYPE:
                                                   Patent
                                                   English
LANGUAGE:
INT. PATENT CLASSIF.:
                                                   C07D501-06
                        MAIN:
              SECONDARY:
                                                   C07D501-22
CLASSIFICATION:
                                                   26-5 (Biomolecules and Their Synthetic Analogs)
                                                   Section cross-reference(s): 10, 63
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
          PATENT NO.
                                                  KIND
                                                                 DATE APPLICATION NO.
                                                                                                                                       DATE
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                                                                -----
                                                  ----
                                                 A1 20040603 WO 2003-IB5032 20031110
          WO 2004046154
                  W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, CM, DC, DU, DT, DT, DO, DU, CC, CD, CR, CK, CK, CH, CM, TH, TM, CA, CA, CA, CH, CA,
                          OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
                  RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
                           FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
                           BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
          AU 2003276525
                                           A1 20040615
A1 20060504
                                                                                    AU 2003-276525 20031110
          US 2006094703
                                                                                       US 2005-532753
                                                                                        US 2005-532/53
IN 2002-MA848 A 20021115
IN 2003-MA152 A 20030226
WO 2003-IB5032 W 20031110
                                                                                                                                       20050513 <--
PRIORITY APPLN. INFO.:
PATENT CLASSIFICATION CODES:
  PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES
  _____
                                 ____
                                                WO 2004046154 ICM
                                                 C07D501-06
                                  ICS
                                                 C07D501-22
                                                 C07D0501-06 [ICM,7]; C07D0501-22 [ICS,7]; C07D0501-00
                                   IPCI
                                                 [ICS, 7, C*]
                                   IPCR
                                                 C07D0501-00 [I,A]; C07D0501-00 [I,C*]
                                   ECLA
                                                 C07D501/00
  AU 2003276525
                                  IPCI
                                                 C07D0501-06 [ICM,7]; C07D0501-22 [ICS,7]; C07D0501-00
                                                 [ICS,7,C*]
                                   IPCR
                                                 C07D0501-00 [I,A]; C07D0501-00 [I,C*]
  US 2006094703
                                  IPCI
                                                A61K0031-545 [I,A]; C07D0501-14 [I,A]; C07D0501-00
                                                 [I,C*]
                                                 514/202.000; 540/222.000
                                   NCL
                                   ECLA C07D501/00
OTHER SOURCE(S):
                                                CASREACT 141:6966; MARPAT 141:6966
GRAPHIC IMAGE:
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ABSTRACT:

The present invention discloses a process for preparing cefdinir [I; R1 = H; R2 = CO2H (II)] and its monohydrate via condensing 7-amino-3-cephem-4-carboxylic acid with III (X = ester, thioester, halo, etc.) in the presence of a tertiary amine and an organic solvent, followed by treatment with a base to produce I [R1 = C(Ph)3; R2 = carboxylate ion (IV)], and hydrolyzing IV, using an acid in the presence of a solvent, to produce II. Thus, reaction between III (X = OH) and 2-mercapto-5-phenyl-1,3,4-oxadiazole yielded 2-mercapto-5-phenyl-1,3,4-oxadiazolyl-(Z)-(2-aminothiazol-4-yl)-2-(trityloxyimino) acetate, which, on condensation with 7-amino-3-vinyl-3-cephem-4-carboxylic acid and subsequent hydrolysis, afforded II.

SUPPL. TERM: cefdinir hydrate prepn cephalosporin antibiotic

INDEX TERM: Hydrolysis

(acid; during preparation of cefdinir and its amorphous

hydrate)

INDEX TERM: Sulfonic acids, reactions

ROLE: RGT (Reagent); RACT (Reactant or reagent)

(aromatic/aliphatic; during preparation of cefdinir and its

amorphous hydrate)

INDEX TERM: Condensation reaction

(between 2-mercapto-5-phenyl-1,3,4-oxadiazolyl-(Z)-(2-

aminothiazol-4-yl)-2-(trityloxyimino)acetate, and

7-amino-3-vinyl-3-cephem-4-carboxylic acid in preparation of

cefdinir and its amorphous hydrate)

INDEX TERM: Asymmetric synthesis and induction

(of cefdinir and its amorphous hydrate)

INDEX TERM: Solvents

(organic; during preparation of cefdinir and its amorphous

hydrate)

INDEX TERM: X-ray diffraction

(pattern of the powder of cefdinir monohydrate)

INDEX TERM: Antibiotics

 $(\beta$ -lactam; preparation of cefdinir and its amorphous

hydrate)

INDEX TERM: 64-18-6, Formic acid, reactions 64-19-7,

Acetic acid, reactions 7647-01-0, Hydrochloric

acid, reactions 7664-93-9, Sulfuric acid,

reactions

ROLE: RGT (Reagent); RACT (Reactant or reagent)

(for acid hydrolysis during preparation of cefdinir and its

amorphous hydrate)

INDEX TERM: 91832-40-5P 696592-14-0P

696592-17-3P

ROLE: IMF (Industrial manufacture); RCT (Reactant); SPN

(Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of cefdinir and its amorphous hydrate) INDEX TERM: 213978-34-8P ROLE: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (preparation of cefdinir and its amorphous hydrate) INDEX TERM: 1310-58-3, Potassium hydroxide, reactions 3004-42-0 79349-82-9 128438-01-7 696592-20-8 ROLE: RCT (Reactant); RACT (Reactant or reagent) (preparation of cefdinir and its amorphous hydrate) INDEX TERM: 75-50-3, Trimethylamine, reactions 121-44-8 , Triethylamine, reactions 626-67-5, N-Methylpiperidine 7087-68-5, N, N-Diisopropylethylamine 68641-49-6 ROLE: RGT (Reagent); RACT (Reactant or reagent) (preparation of cefdinir and its amorphous hydrate) INDEX TERM: 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, Isopropanol, uses 67-64-1, Acetone, uses 75-05-8, Acetonitrile, uses 78-93-3, Butan-2-one, uses 108-93-0, Cyclohexanol, uses 109-99-9, Tetrahydrofuran, uses 127-19-5, Dimethylacetamide ROLE: NUU (Other use, unclassified); USES (Uses) (solvent; preparation of cefdinir and its amorphous hydrate) INDEX TERM: **7732-18-5**, Water, reactions ROLE: NUU (Other use, unclassified); RCT (Reactant); RACT (Reactant or reagent); USES (Uses) (solvent; preparation of cefdinir and its amorphous hydrate) 64-18-6, Formic acid, reactions 64-19-7, Acetic acid, TΤ reactions 7647-01-0, Hydrochloric acid, reactions 7664-93-9, Sulfuric acid, reactions RL: RGT (Reagent); RACT (Reactant or reagent) (for acid hydrolysis during preparation of cefdinir and its amorphous hydrate) RN 64-18-6 HCAPLUS Formic acid (7CI, 8CI, 9CI) (CA INDEX NAME) CN 0== СН- ОН RN 64-19-7 HCAPLUS CN Acetic acid (7CI, 8CI, 9CI) (CA INDEX NAME) HO- C- CH3 RN7647-01-0 HCAPLUS Hydrochloric acid (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME) CN HC1

RN 7664-93-9 HCAPLUS CN

Sulfuric acid (8CI, 9CI) (CA INDEX NAME)

IT 91832-40-5P 696592-14-0P 696592-17-3P

> RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of cefdinir and its amorphous hydrate)

RN 91832-40-5 HCAPLUS

5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, CN

7-[((2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo-

, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

696592-14-0 HCAPLUS RN

4-Thiazoleethanethioic acid, 2-amino- α -[(triphenylmethoxy)imino]-, CN S-(5-phenyl-1,3,4-oxadiazol-2-yl) ester, $(\alpha Z)-(9CI)$ (CA INDEX NAME)

Double bond geometry as shown.

RN 696592-17-3 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)[(triphenylmethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, monopotassium salt, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

K

IT 213978-34-8P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of cefdinir and its amorphous hydrate)

RN 213978-34-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl) (hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo, monohydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

● н20

CO₂H

IT 1310-58-3, Potassium hydroxide, reactions 3004-42-0 79349-82-9 128438-01-7 696592-20-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of cefdinir and its amorphous hydrate)

RN 1310-58-3 HCAPLUS

CN Potassium hydroxide (K(OH)) (9CI) (CA INDEX NAME)

K-OH

RN 3004-42-0 HCAPLUS

CN 1,3,4-Oxadiazole-2(3H)-thione, 5-phenyl- (9CI) (CA INDEX NAME)

RN 79349-82-9 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-amino-3-ethenyl-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 128438-01-7 HCAPLUS

CN 4-Thiazoleacetic acid, 2-amino- α -[(triphenylmethoxy)imino]-, (α Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$H_2N$$
 S
 CO_2H
 Z
 CPh_3

RN 696592-20-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monoammonium salt, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

● NH3

Triethylamine, reactions 121-44-8,
Triethylamine, reactions 626-67-5, N-Methylpiperidine
7087-68-5, N,N-Diisopropylethylamine 68641-49-6
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of cefdinir and its amorphous hydrate)
RN 75-50-3 HCAPLUS
CN Methanamine, N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 121-44-8 HCAPLUS CN Ethanamine, N,N-diethyl- (9CI) (CA INDEX NAME)

RN 626-67-5 HCAPLUS CN Piperidine, 1-methyl- (8CI, 9CI) (CA INDEX NAME)

RN 7087-68-5 HCAPLUS CN 2-Propanamine, N-ethyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 68641-49-6 HCAPLUS

CN Phosphinic chloride, bis(2-oxo-3-oxazolidinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & C1 \\
 & P \\
 & N
\end{array}$$

IT **64-17-5**, Ethanol, uses **67-56-1**, Methanol, uses

67-63-0, Isopropanol, uses **67-64-1**, Acetone, uses

75-05-8, Acetonitrile, uses **78-93-3**, Butan-2-one, uses

108-93-0, Cyclohexanol, uses 109-99-9, Tetrahydrofuran,

uses 127-19-5, Dimethylacetamide

RL: NUU (Other use, unclassified); USES (Uses)

(solvent; preparation of cefdinir and its amorphous hydrate)

RN 64-17-5 HCAPLUS

CN Ethanol (9CI) (CA INDEX NAME)

 ${\rm H_3C-CH_2-OH}$

RN 67-56-1 HCAPLUS

CN Methanol (8CI, 9CI) (CA INDEX NAME)

нзс-он

RN 67-63-0 HCAPLUS

CN 2-Propanol (9CI) (CA INDEX NAME)

RN 67-64-1 HCAPLUS

CN 2-Propanone (9CI) (CA INDEX NAME)

RN 75-05-8 HCAPLUS

CN Acetonitrile (8CI, 9CI) (CA INDEX NAME)

 $H_3C-C \equiv N$

RN 78-93-3 HCAPLUS CN 2-Butanone (8CI, 9CI) (CA INDEX NAME)

О || H₃C-С-СH₂-СH₃

RN 108-93-0 HCAPLUS CN Cyclohexanol (8CI, 9CI) (CA INDEX NAME)

OH

RN 109-99-9 HCAPLUS CN Furan, tetrahydro- (7CI, 8CI, 9CI) (CA INDEX NAME)

 $\langle \circ \rangle$

RN 127-19-5 HCAPLUS CN Acetamide, N,N-dimethyl- (8CI, 9CI) (CA INDEX NAME)

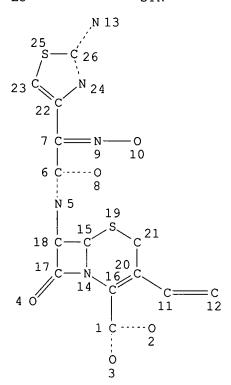
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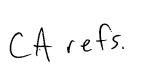
CN Water (8CI, 9CI) (CA INDEX NAME)

H20

L5

STR





NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE

L7 39 SEA FILE=REGISTRY FAM FUL L5

L8 464 SEA FILE=HCAPLUS ABB=ON PLU=ON L7

PLU=ON L9 4 SEA FILE=HCAPLUS ABB=ON L7 (L) AMOR?

L11 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L8 AND AMORPH?

4 SEA FILE=HCAPLUS ABB=ON L12 L9 OR L11 PLU=ON

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ENTER A FILE NAME OR (IGNORE):end
=> d 112 ibib abs hitind hitstr 1-4
L12 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                        2006:122978 HCAPLUS
DOCUMENT NUMBER:
                        144:198746
TITLE:
                        Preparation of stable amorphous cefdinir
INVENTOR(S):
                        Sever, Nancy E.; Law, Devalina
PATENT ASSIGNEE(S):
                        USA
SOURCE:
                        U.S. Pat. Appl. Publ., 18 pp.
                        CODEN: USXXCO
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                                         APPLICATION NO.
                       KIND
                               DATE
                                                                  DATE
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                               _____
                                           _____
                                                                  _____
                               20060209
                                           US 2005-103183
     US 2006029674
                         Α1
                                                                  20050411
                                           US 2004-560957P
                                                              P 20040409
PRIORITY APPLN. INFO.:
     The present invention relates to prepns. of stable amorphous
     cefdinir (7-[2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamide]-3-vinyl-3-
     cephem-4-carboxylic acid, syn isomer), methods for its preparation, and
     pharmaceutical compns. comprising the same. Amorphous cefdinir
     was isolated by evaporating a methanolic solution of cefdinir hydrate.
     amorphous material was phys. stable.
INCL 424486000; 514202000; 540222000
     63-5 (Pharmaceuticals)
CC
ST
     stable amorphous cefdinir prepn
ΙT
     Polyelectrolytes
        (anionic; stable amorphous cefdinir)
ΙT
     Infection
        (bacterial; stable amorphous cefdinir)
ΙT
     Polyelectrolytes
        (cationic; stable amorphous cefdinir)
IT
     Solvents
        (organic; stable amorphous cefdinir)
ΙT
     Solvents
        (stable amorphous cefdinir)
IT
     Acrylic polymers, biological studies
     Macromolecular compounds
     Polymers, biological studies
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (stable amorphous cefdinir)
IT
     67-56-1, Methanol, uses
     RL: NUU (Other use, unclassified); USES (Uses)
        (stable amorphous cefdinir)
IT
     213978-34-8, Cefdinir monohydrate
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (stable amorphous cefdinir)
```

IT 9002-89-5, Polyvinyl alcohol 9003-39-8, Pvp 9004-53-9, Dextrin 9004-64-2, Hydroxypropyl cellulose) 9004-65-3, HPMC 9050-31-1, Hydroxypropyl methyl cellulose phthalate 9050-36-6, Maltodextrin 24938-16-7, Eudragit epo 26008-54-8, Vinyl alcohol vinyl pyrrolidone copolymer 91832-40-5, Cefdinir RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (stable amorphous cefdinir) IT 213978-34-8, Cefdinir monohydrate RL: RCT (Reactant); RACT (Reactant or reagent) (stable amorphous cefdinir) 213978-34-8 HCAPLUS RN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, CN 7-[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monohydrate, (6R,7R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

● H₂O

Absolute stereochemistry. Double bond geometry as shown.

L12 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:100935 HCAPLUS

DOCUMENT NUMBER: 144:170819

TITLE: Cefdinir polymorphic forms, and imidazole salt

INVENTOR(S): Jaweed Mukarram, Siddiqui Mohammed; Khan, Rashid Abdul

Rehman; Mane, Avinash Seshrao

PATENT ASSIGNEE(S): Wockhardt Limited, India

SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

GΙ

| PAT | PATENT NO. | | | | | KIND DATE | | | 7 | APPL | ICAT | DATE | | | | | | |
|----------|------------------------------|-----|------|-----|-----|-----------|------|-----|------|-------|----------|--------|-----|-----|------|------|-----|--|
| WO | WO 2006010978 W: AE, AG, AL, | | | A1 | - | 2006 | 0202 | | WO 2 | 004- | IB21 | 71 | | 21 | 0040 | 630 | | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | | NO, | ΝZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | |
| | | ТJ, | TM, | TN, | TR, | TT, | ΤZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | |
| | RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FΙ, | FR, | GB, | GR, | ΗU, | ΙE, | |
| | | ΙΤ, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | |
| | | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, | GM, | ΚE, | LS, | |
| | | MW, | ΜZ, | NA, | SD, | SL, | SZ, | ΤZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, | KG, | ΚZ, | MD, | |
| | RU, TJ, TM | | | | | | | | | | | | | | | | | |
| PRIORITY | APP | LN. | INFO | . : | | | | | Ţ | WO 21 | 004- | IB21 | 71 | | 20 | 0040 | 630 | |
| GT | | | | | | | | | | | | | | | | | | |

$$H_{2}N$$
 S
 $CO_{2}H$
 CH_{2}
 H_{1}
 H_{2}
 H_{2}
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 H_{3}
 H_{2}
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 H_{3}
 H_{3}
 H_{4}
 H_{5}
 H_{5

A new crystalline Cefdinir imidazole salt (I) and polymorphic forms C, D and an AB amorphous form of Cefdinir were disclosed. IC ICM C07D501-22 ICS C07D501-04 CC 26-5 (Biomolecules and Their Synthetic Analogs) Section cross-reference(s): 63 ΙT Crystallization Polymorphism (crystal) (preparation of the Cefdinir imidazole salt and amorphous and polymorphic crystalline forms C and D of Cefdinir, a β -lactam antibiotic) IT Lactams RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN

(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (β -, antibiotics; preparation of the Cefdinir imidazole salt and amorphous and polymorphic crystalline forms C and D of Cefdinir, a β -lactam antibiotic)

IT Antibiotics

 $(\beta\text{-lactam; preparation of the Cefdinir imidazole salt and amorphous}$ and polymorphic crystalline forms C and D of Cefdinir, a $\beta\text{-lactam antibiotic})$

IT 91832-40-5P, Cefdinir 874478-96-3P, Cefdinir imidazole salt

RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of the Cefdinir imidazole salt and amorphous and polymorphic crystalline forms C and D of Cefdinir, a β -lactam antibiotic)

IT 68786-47-0, (Z)-2-(2-Tritylaminothiazol-4-yl)-2-trityloxyiminoacetic acid 79349-67-0

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of the Cefdinir imidazole salt and **amorphous** and polymorphic crystalline forms C and D of Cefdinir, a β -lactam antibiotic)

IT 143183-08-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of the Cefdinir imidazole salt and amorphous and polymorphic crystalline forms C and D of Cefdinir, a $\beta\text{--lactam}$ antibiotic)

IT 91832-40-5P, Cefdinir 874478-96-3P, Cefdinir imidazole salt

RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of the Cefdinir imidazole salt and amorphous and polymorphic crystalline forms C and D of Cefdinir, a $\beta\text{-lactam}$ antibiotic)

RN 91832-40-5 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 874478-96-3 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, compd. with 1H-imidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 91832-40-5 CMF C14 H13 N5 O5 S2

Absolute stereochemistry. Double bond geometry as shown.

CM 2

CRN 288-32-4 CMF C3 H4 N2



RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

L12 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2006 ACS on STN

3

ACCESSION NUMBER: 2005:1154562 HCAPLUS

DOCUMENT NUMBER: 143:427351

Preparation of stable amorphous cefdinir TITLE:

Server, Nancy E.; Law, Devalina INVENTOR(S):

PATENT ASSIGNEE(S): Abbott Laboratories, USA PCT Int. Appl., 27 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

REFERENCE COUNT:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                       KIND DATE APPLICATION NO.
                                                                  _____
                         A2 20051027 WO 2005-US12439 20050411
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     WO 2005100368
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             LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
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             ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
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                                20060330
                                          US 2004-821695
     US 2006069079
                         A1
                                                                   20040927
                                            US 2004-821695 A 20040927
PRIORITY APPLN. INFO.:
     The present invention relates to stable amorphous cefdinir (syn
     isomer), methods for its preparation, and pharmaceutical compns. comprising the
     stable amorphous form. Amorphous cefdinir was
     characterized with Eudragit EPO.
IC
     ICM C07D501-00
     63-5 (Pharmaceuticals)
CC
     Section cross-reference(s): 28
ST
     amorphous cefdinir prepn stability
IT
     Crystal morphology
     Drug delivery systems
        (preparation of stable amorphous cefdinir)
     9002-89-5 9003-39-8, Polyvinylpyrrolidone 9004-53-9, Dextrin
ΙT
     9004-64-2, Hydroxypropyl cellulose 9004-65-3, Hydroxypropyl methyl
               9050-31-1, Hydroxypropyl methyl cellulose phthalate
     cellulose
     9050-36-6, Maltodextrin 24938-16-7, Eudragit EPO 26008-54-8, Vinyl
     alcohol-vinylpyrrolidone copolymer
```

RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical
process); PRP (Properties); PYP (Physical process); PROC (Process); USES
(Uses)
 (preparation of stable amorphous cefdinir)
213978-34-8P, Cefdinir monohydrate
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT

(preparation of stable amorphous cefdinir)

(Reactant or reagent); USES (Uses)

IT 91832-40-5P, Cefdinir

IT

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of stable amorphous cefdinir)

IT 91832-27-8

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of stable amorphous cefdinir)

IT 213978-34-8P, Cefdinir monohydrate

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of stable amorphous cefdinir)

RN 213978-34-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo-, monohydrate, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

● H2O

Absolute stereochemistry.

Double bond geometry as shown.

L12 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:453223 HCAPLUS

DOCUMENT NUMBER:

141:6966

TITLE:

Process for preparing cefdinir and its

amorphous hydrate

INVENTOR(S):

Deshpande, Pandurang Balwant; Khadangale, Bhausaheb

Pandharinath; Ramasubbu, Chandrasekaran

PATENT ASSIGNEE(S):

Orchid Chemicals & Pharmaceuticals Ltd., India

SOURCE:

PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT | PATENT NO. | | | | | KIND DATE | | | ICAT | ION 1 | DATE | | | | |
|------------------------|---------------|--------|------|-------------|-----|---------------|---------------|------|----------|-------|----------|------------|-----|------|-----|
| WO 2004 | WO 2004046154 | | | A1 20040603 | | | 1 | WO 2 | 003- | IB50 | 20031110 | | | | |
| W: | AE, A | G, AL, | AM, | ΑT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, |
| | CN, C | O, CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, |
| | GH, G | M, HR, | HU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | ΚP, | KR, | ΚZ, | LC, | LK, |
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| | OM, P | G, PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | ТJ, | TM, |
| | | R, TT, | | | | | | | | | | | | | |
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| | | Z, MD, | | | | | | | | | | | | | |
| | | R, GB, | - | | | | | | | | | | | | |
| | BF, B | J, CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG |
| AU 2003276525 | | | | | | | | | | | | | | | |
| US 2006 | | | | | | | | | 20050513 | | | | | | |
| PRIORITY APPLN. INFO.: | | | | | | | IN 2002-MA848 | | | | | A 20021115 | | | 115 |
| | | | | | | IN 2003-MA152 | | | | | A 2 | 0030 | 226 | | |
| | | | | | | | | WO 2 | 003- | IB50 | 32 | 1 | w 2 | 0031 | 110 |
| OTHER SOURCE | CAS | REAC | T 14 | 1:69 | 66; | MARP. | AT 1 | 41:6 | 966 | | | | | | |

AB The present invention discloses a process for preparing cefdinir [I; Rl = H; R2 = CO2H (II)] and its monohydrate via condensing 7-amino-3-cephem-4-carboxylic acid with III (X = ester, thioester, halo, etc.) in the presence of a tertiary amine and an organic solvent, followed by treatment with a base to produce I [Rl = C(Ph)3; R2 = carboxylate ion (IV)], and hydrolyzing IV, using an acid in the presence of a solvent, to produce II. Thus, reaction between III (X = OH) and 2-mercapto-5-phenyl-1,3,4-oxadiazole yielded 2-mercapto-5-phenyl-1,3,4-oxadiazolyl-(Z)-(2-aminothiazol-4-yl)-2-(trityloxyimino) acetate, which, on condensation with 7-amino-3-vinyl-3-cephem-4-carboxylic acid and subsequent hydrolysis, afforded II.

IC ICM C07D501-06

ICS C07D501-22

CC 26-5 (Biomolecules and Their Synthetic Analogs)
 Section cross-reference(s): 10, 63

IT Hydrolysis

(acid; during preparation of cefdinir and its amorphous hydrate)

IT Sulfonic acids, reactions

RL: RGT (Reagent); RACT (Reactant or reagent)

(aromatic/aliphatic; during preparation of cefdinir and its amorphous hydrate)

IT Condensation reaction

(between 2-mercapto-5-phenyl-1,3,4-oxadiazolyl-(Z)-(2-aminothiazol-4-yl)-2-(trityloxyimino)acetate, and 7-amino-3-vinyl-3-cephem-4-carboxylic acid in preparation of cefdinir and its amorphous hydrate)

IT Asymmetric synthesis and induction

(of cefdinir and its amorphous hydrate)

IT Solvents

(organic; during preparation of cefdinir and its amorphous hydrate)

IT Antibiotics

(β-lactam; preparation of cefdinir and its amorphous hydrate)

IT 64-18-6, Formic acid, reactions 64-19-7, Acetic acid, reactions 7647-01-0, Hydrochloric acid, reactions 7664-93-9, Sulfuric acid, reactions

RL: RGT (Reagent); RACT (Reactant or reagent)

(for acid hydrolysis during preparation of cefdinir and its amorphous hydrate)

IT **91832-40-5P** 696592-14-0P 696592-17-3P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of cefdinir and its amorphous hydrate)

IT 213978-34-8P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of cefdinir and its amorphous hydrate)

IT 1310-58-3, Potassium hydroxide, reactions 3004-42-0 79349-82-9

128438-01-7 696592-20-8 RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of cefdinir and its amorphous hydrate) TΤ 75-50-3, Trimethylamine, reactions 121-44-8, Triethylamine, reactions 626-67-5, N-Methylpiperidine 7087-68-5, N,N-Diisopropylethylamine 68641-49-6 RL: RGT (Reagent); RACT (Reactant or reagent) (preparation of cefdinir and its amorphous hydrate) 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, Is uses 67-64-1, Acetone, uses 75-05-8, Acetonitrile, uses IT 67-63-0, Isopropanol, 78-93-3, Butan-2-one, uses 108-93-0, Cyclohexanol, uses 109-99-9, Tetrahydrofuran, uses 127-19-5, Dimethylacetamide RL: NUU (Other use, unclassified); USES (Uses) (solvent; preparation of cefdinir and its amorphous hydrate) TΤ 7732-18-5, Water, reactions RL: NUU (Other use, unclassified); RCT (Reactant); RACT (Reactant or reagent); USES (Uses) (solvent; preparation of cefdinir and its amorphous hydrate) IT 91832-40-5P RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of cefdinir and its amorphous hydrate) RN 91832-40-5 HCAPLUS CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

Absolute stereochemistry.
Double bond geometry as shown.

● H2O

IT 696592-20-8

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of cefdinir and its **amorphous** hydrate)

RN 696592-20-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[(2Z)-(2-amino-4-thiazolyl) (hydroxyimino)acetyl]amino]-3-ethenyl-8-oxo, monoammonium salt, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

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Databases
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FILE 'HCAPLUS' ENTERED AT 12:14:01 ON 27 JUN 2006

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4 SEA ABB=ON PLU=ON ?CEFDINIR? AND AMORPH?
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INDEX 'ABI-INFORM, ADISCTI, AEROSPACE, AGRICOLA, ALUMINIUM, ANABSTR, ANTE, APOLLIT, AQUALINE, AQUASCI, AQUIRE, BABS, BIBLIODATA, BIOENG, BIOSIS, BIOTECHABS, BIOTECHDS, BIOTECHNO, CABA, CAOLD, CAPLUS, CASREACT, CBNB, CEABA-VTB, CERAB, CHEMINFORMRX, CHEMSAFE, ... 'ENTERED AT 12:15:46 ON 27 JUN 2006

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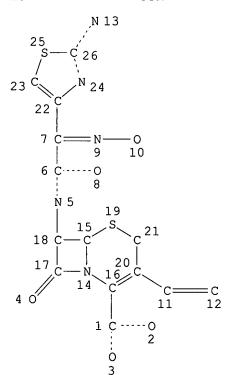
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FILE 'EPFULL' ENTERED AT 12:19:56 ON 27 JUN 2006
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PROCESSING COMPLETED FOR L12
PROCESSING COMPLETED FOR L23
L24
19 DUP REM L12 L23 (19 DUPLICATES REMOVED)

19 DUP REM L12 L23 (19 DUPLICATES REMOVED)
ANSWERS '1-4' FROM FILE HCAPLUS
ANSWERS '5-10' FROM FILE PCTFULL
ANSWERS '11-17' FROM FILE USPATFULL
ANSWERS '18-19' FROM FILE INVESTEXT

=> d 124 ibib abs hitind histr 1-4; d 124 ibib abs kwic 5-19
'HISTR' IS NOT A VALID FORMAT
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REENTER DISPLAY FORMAT FOR ALL FILES (FILEDEFAULT):end

L24 ANSWER 5 OF 19 ACCESSION NUMBER: TITLE (ENGLISH):

TITLE (FRENCH):

INVENTOR(S):

PCTFULL COPYRIGHT 2006 Univentio on STN 2006053625 PCTFULL ED 20060530 EW 200621 CRYSTALLINE FROM OF CEFDINIR AMMONIUM SALT AS AN INTERMEDIATED FOR THE PREPARATION OF PURE CEFDINIR SEL D'AMMONIUM CRISTALLIN DE CEFDINIR UTILISE COMME INTERMEDIAIRE POUR LA PREPARATION D'UN CEFDINIR PUR GHETTI, Paolo, Via Dante, 5, I-20090 Segrate, IT;

POZZI, Giovanni, Via Belvedere, 19/F, I-20045 Besana

Brianza (MI), IT;

BALSAMO, Gaetano, Via Amendola, 11, I-20096 Pioltello

(MI), IT;

ALPEGIANI, Marco, Via Tolmezzo, 12/5, I-20132 Milano, TT:

CABRI, Walter, Via Pisacane, 5, I-20089 Rozzano (MI),

ANTIBIOTICOS S.P.A., Strada Rivoltana Km 6/7, I-20090

PATENT ASSIGNEE(S):

Rodano (MI), IT

AGENT: BANFI, Paolo. et al.\$, Bianchetti Bracco Minoja S.R.L.,

Via Plinio, 63, I-20129 Milano\$, IT

LANGUAGE OF FILING: English LANGUAGE OF PUBL.: English DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE WO 2006053625 A1 20060526

DESIGNATED STATES

W:

AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KM KP KR KZ LC LK LR LS LT LU LV LY MA MD MG MK MN MW MX MZ NA NG NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SM SY TJ TM TN TR TT TZ

UA UG US UZ VC VN YU ZA ZM ZW

BW GH GM KE LS MW MZ NA SD SL SZ TZ UG ZM ZW RW (ARIPO):

RW (EAPO): AM AZ BY KG KZ MD RU TJ TM

RW (EPO): AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT

LT LU LV MC NL PL PT RO SE SI SK TR

BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG RW (OAPI):

APPLICATION INFO.: WO 2005-EP11385 A 20051024 IT 2004-MI2004A002231 PRIORITY INFO.: 20041119

ABEN The invention relates to crystalline Cefdinir ammonium salt of formula

ABFR L'invention concerne le sel d'ammonium cristallin de Cefdinir de la formule (I).

DETD Cefdinir ammonium salt is cited in WO 2004/046154 (examples 3 and 4) as starting product for the preparation of amorphous Cefdinir monohydrate,

but its recovery is not disclosed, nor is it given any indication as to its

physical form.

caused by pH stress (excessive amount of base, high local pH following the base addition), which occurs in purification processes starting from Cefdinir (amorphous, crystalline form A of the Patent

Fujisawa US4935507 and hydrate) or salts thereof (phosphate, sulfate, methanesulfonate and dicyclohexylamine).

ANSWER 6 OF 19 L24 ACCESSION NUMBER: TITLE (ENGLISH): TITLE (FRENCH): INVENTOR(S):

COPYRIGHT 2006 Univentio on STN PCTFULL 2006035291 PCTFULL ED 20060411 EW 200614 CRYSTALLINE FORMS OF CEFDINIR POTASSIUM FORMES CRISTALLINES DE CEFDINIR POTASSIUM

PRASAD, Ashok, 147/9, Dr. Gupta's Flats, Kishangarh,

Vasant Kunj, New Delhi, Delhi 110070, IN;

MAHESHWARI, Nitin, E/8-E, DDA Flats (MIG), Maya Puri,

New Delhi, Delhi 110064, IN;

KUMAR, Yatendra, U-26/5, Phase-III, DLF Qutab Enclave, Gurgaon, Haryana 122001, IN; PRASAD, Mohan, House No. P-3/3, Phase-II, DLF Qutab

Enclave, Gurgaon, Haryana 122001, IN

RANBAXY LABORATORIES LIMITED, Plot No. 90, Sector 32, PATENT ASSIGNEE(S):

Gurgaon, Haryana 122001, IN

LANGUAGE OF FILING: English LANGUAGE OF PUBL.: English

DOCUMENT TYPE: PATENT INFORMATION: Patent

NUMBER KIND DATE

WO 2006035291 A1 20060406

DESIGNATED STATES

W:

AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KM KP KR KZ LC LK LR LS LT LU LV LY MA MD MG MK MN MW MX MZ NA NG NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SM SY TJ TM TN TR TT TZ

UA UG US UZ VC VN YU ZA ZM ZW

RW (ARIPO): BW GH GM KE LS MW MZ NA SD SL SZ TZ UG ZM ZW

RW (EAPO): AM AZ BY KG KZ MD RU TJ TM

RW (EPO): AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT

LT LU LV MC NL PL PT RO SE SI SK TR

BF BJ CF CG CI CM GA GN GO GW ML MR NE SN TD TG RW (OAPI):

APPLICATION INFO.: WO 2005-IB2858 A 20050927 PRIORITY INFO.: IN 2004-1854DEL2004 20040927

The present invention relates to a novel crystalline potassium salt of ABEN cefdinir - cefdinir potassium tetrahydrate, processes for its preparation, pharmaceutical compositions including cefdinir potassium tetrahydrate, and methods of treating bacterial infections using cefdinir potassium tetrahydrate. In addition, the present invention also relates to a mixture of cefdinir potassium dihydrate and cefdinir potassium tetrahydrate, processes for its preparation, pharmaceutical compositions including the mixture, and methods of treating bacterial infections using mixtures of cefdinir potassium dihydrate and cefdinir potassium tetrahydrate. Further it also relates to processes for preparing pure cefdinir and cefdinir potassium dihydrate from cefdinir potassium tetrahydrate.

La presente invention concerne un nouveau sel de potassium cristallin de ABFR cefdinir tetrahydrate de cefdinir potassium, des procedes de preparation de celui-ci, des compositions pharmaceutiques renfermant le tetrahydrate de cefdinir potassium et des methodes de traitement d'infections bacteriennes a l'aide de tetrahydrate de cefdinir potassium. Cette invention concerne en outre un melange de dihydrate de cefdinir potassium et de tetrahydrate de cefdinir potassium, des procedes de preparation de celui-ci, des compositions pharmaceutiques renfermant le melange et des methodes de traitement d'infections bacteriennes a l'aide de melanges de dihydrate de cefdinir potassium et de tetrahydrate de cefdinir potassium. Cette invention concerne egalement des procedes de preparation de cefdinir pur et de dihydrate de cefdinir potassium a partir de tetrahydrate de cefdinir potassium.

DETD eleventh aspect may be obtained as crystal A as cited in US 4,935,507, which is incorporated herein by reference. Alternatively, an amorphous form of cefdinir similar to that produced by the method of US 4,559,334 may also be obtained via this purification process.

ANSWER 7 OF 19 ACCESSION NUMBER: TITLE (ENGLISH): TITLE (FRENCH): INVENTOR(S):

PCTFULL COPYRIGHT 2006 Univentio on STN 2006018807 PCTFULL ED 20060331 EW 200608

CRYSTALLINE FORMS OF CEFDINIR FORMES CRISTALLINES DE CEFDINIR

GADE, Sanjay, U-26/5, Phase - III||DLF Qutab Enclave,

Gurgaon, Haryana 122001, IN;

ARYAN, Ram, Chander, 1066, Sector - A, Pocket - A,

```
Vasant Kunj, New Delhi, Delhi 110070, IN;
                        DUGGAL, Sanjam, U-26/5, Phase - III||DLF Qutab Enclave,
                        Gurgaon, Haryana 122001, IN;
                        KUMAR, Satish, 7, Vallabh Apartments, Maniyasha, Maninagar (East), Ahmedabad, Gujarat 380008, IN;
                        KUMAR, Yatendra, U-26/5, Phase - III||DLF Qutab
                        Enclave, Gurgaon, Haryana 122001, IN;
                        PANDYA, Bhargav, 7, Vallabh Apartments, Maniyasha,
                        Maninagar (East), Ahmedabad, Gujarat 380008, IN;
                        MAHENDRU, Manu, 5733, Ground Floor, Sector - 38 West,
                        Chandigarh, Chandigarh 160014, IN
PATENT ASSIGNEE(S):
                        RANBAXY LABORATORIES LIMITED, Plot No. 90, Sector - 32,
                        Gurgaon, Haryana 122 001, IN
LANGUAGE OF FILING:
                        English
LANGUAGE OF PUBL.:
                        English
DOCUMENT TYPE:
                        Patent
PATENT INFORMATION:
                        NUMBER
                                          KIND
                                                   DATE
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                        WO 2006018807
                                            A1 20060223
DESIGNATED STATES
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                        CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR
                        HU ID IL IN IS JP KE KG KM KP KR KZ LC LK LR LS LT LU
                        LV MA MD MG MK MN MW MX MZ NA NG NI NO NZ OM PG PH PL
                        PT RO RU SC SD SE SG SK SL SM SY TJ TM TN TR TT TZ UA
                        UG US UZ VC VN YU ZA ZM ZW
       RW (ARIPO):
                        BW GH GM KE LS MW MZ NA SD SL SZ TZ UG ZM ZW
       RW (EAPO):
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                        AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT
       RW (EPO):
                        LT LU LV MC NL PL PT RO SE SI SK TR
       RW (OAPI):
                        BF BJ CF CG CI CM GA GN GO GW ML MR NE SN TD TG
APPLICATION INFO.:
                        WO 2005-IB52691
                                          A 20050815
PRIORITY INFO.:
                        IN 2004-1508DEL2004
                                                 20040816
                        IN 2004-1646DEL2004
                                                 20040831
                        IN 2005-434DEL2005
                                                 20050228
       The invention relates to processes for the preparation of crystalline
ABEN
       polymorphic forms of cefdinir of formula (I). More particularly, it
       relates to the preparation of crystalline polymorphic forms of cefdinir
       designated as Forms B and C. The invention also relates to
       pharmaceutical compositions that include the polymorphic forms B and C,
       and the use of the compositions for treating bacterial infections.
ABFR
       L'invention concerne des procedes de preparation de formes polymorphes
       de cefdinir de formule (I). Cette invention concerne plus
       particulierement la preparation de formes polymorphes cristallines de
       cefdinir designees par les formes B et C. L'invention concerne egalement
       des compositions pharmaceutiques comprenant les formes polymorphes B et
       C et l'utilisation de ces compositions dans le traitement des infections
       bacteriennes.
DETD
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       N 0
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       Н
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[61 FORMULAI

[7] U.S. Patent No. 4,559,334 discloses a process for the preparation of cefdinir in

amorphous form by lyophilization. The amorphous form so produced is highly hygroscopic and therefore very difficult to formulate.

[12] International (PCT) Publication No. WO 04/46154 describes the

monohydrate of cefdinir and a process for preparation thereof.

L24 ANSWER 8 OF 19 PCTFULL COPYRIGHT 2006 Univentio on STN ACCESSION NUMBER: 2005121154 PCTFULL ED 20051228 EW 200551 TITLE (ENGLISH): PROCESS FOR THE PREPARATION OF CEFDINIR TITLE (FRENCH): PROCEDE DE PREPARATION DE CEFDINIR INVENTOR(S): KUMAR, Raaj, 1525 Summer Ridge Road, Mexico, MO 65265, US [IN, US] PATENT ASSIGNEE(S): TEVA PHARMACEUTICAL INDUSTRIES LTD., 5 Basel Street, P.O. Box 3190, 49131 Petah Tigva, IL [IL, IL], for all designates States except BB US; TEVA PHARMACEUTICALS USA, INC., 1090 Horsham Road, P.O. Box 1090, North Wales, PA 19454, US [US, US], for BB KUMAR, Raaj, 1525 Summer Ridge Road, Mexico, MO 65265, US [IN, US], for US only AGENT: BRAINARD, Charles, R.\$, Kenyon & Kenyon, One Broadway, New York, NY 10004-1050\$, US LANGUAGE OF FILING: English LANGUAGE OF PUBL.: English DOCUMENT TYPE: Patent PATENT INFORMATION: NUMBER KIND DATE WO 2005121154 A1 20051222 DESIGNATED STATES AE AG AL AM AT AU AZ BA BB BG BR BW BY BZ CA CH CN CO W: CR CU CZ DE DK DM DZ EC EE EG ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KM KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NA NG NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SM SY TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW BW GH GM KE LS MW MZ NA SD SL SZ TZ UG ZM ZW RW (ARIPO): RW (EAPO): AM AZ BY KG KZ MD RU TJ TM RW (EPO): AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT LT LU MC NL PL PT RO SE SI SK TR

RW (OAPI): BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG APPLICATION INFO.: WO 2005-US20141 A 20050608 PRIORITY INFO.: US 2004-60/578,203 20040608

Provided are intermediates for use in synthesis of Cefdinir and ABEN processes for preparing cefdinir with such intermediates.

L'invention concerne des intermediaires a utiliser dans la synthese du ABFR Cefdinir et des procedes de preparation du Cefdinir au moyen de ces intermediaires.

DETD Pharmaceutical compositions of the present invention contain crystalline cefdinir, or cefdinir amorphous. The cefdinir prepared by the processes of the present invention are ideal for pharmaceutical formulation. In addition to the active

ingredient(s), the pharmaceutical compositions. . .

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L24
       ANSWER 9 OF 19
                        PCTFULL COPYRIGHT 2006 Univentio on STN
ACCESSION NUMBER:
                        2003050124 PCTFULL ED 20030623 EW 200325
                        CRYSTALLINE CEFDINIR POTASSIUM DIHYDRATE
TITLE (ENGLISH):
                        DIHYDRATE DE CEFDINIR POTASSIUM CRISTALLIN
TITLE (FRENCH):
                        KUMAR, Yatendra, U-26/5, Phase - III, DLF Qutab
INVENTOR(S):
                        Enclave, Gurgaon 122 001, Haryana, IN [IN, IN];
                        PRASAD, Mohan, P-3/3, Phase - II, DLF Qutab Enclave,
                        Gurgaon 122 001, Haryana, IN [IN, IN];
                        PRASAD, Ashok, 147/9, Dr. Gupta's Flat, Kishangarh,
                        Vasant Kunj, New Delhi 110 070, IN [IN, IN];
                        SINGH, Shailendra, Kumar, A-35/30, Phase-I, DLF Qutab
                        Enclave, Gurgaon 122 001, Haryana, IN [IN, IN];
                        KUMAR, Neela, Praveen, House No. 16-2-705/9/A/8,
                        Professors Colony, Malakpet, Hyderabad 500 036, Andhra
                        Pradesh, IN [IN, IN]
PATENT ASSIGNEE(S):
                        RANBAXY LABORATORIES LIMITED, 19, Nehru Place, New
                        Delhi 110 019, IN [IN, IN], for all designates States
                        except US;
                        KUMAR, Yatendra, U-26/5, Phase - III, DLF Qutab
                        Enclave, Gurgaon 122 001, Haryana, IN [IN, IN], for US
                        PRASAD, Mohan, P-3/3, Phase - II, DLF Qutab Enclave,
                        Gurgaon 122 001, Haryana, IN [IN, IN], for US only;
                        PRASAD, Ashok, 147/9, Dr. Gupta's Flat, Kishangarh,
                        Vasant Kunj, New Delhi 110 070, IN [IN, IN], for US
                        only;
                        SINGH, Shailendra, Kumar, A-35/30, Phase-I, DLF Qutab
                        Enclave, Gurgaon 122 001, Haryana, IN [IN, IN], for US
                        only;
                        KUMAR, Neela, Praveen, House No. 16-2-705/9/A/8,
                        Professors Colony, Malakpet, Hyderabad 500 036, Andhra
                        Pradesh, IN [IN, IN], for US only
                        RANBAXY LABORATORIES LIMITED$, DESHMUKH, Jayadeep, R.,
AGENT:
                        600 College Road East, Suite 2100, Princeton, NJ
                        08540$, US
LANGUAGE OF FILING:
                        English
LANGUAGE OF PUBL.:
                        English
DOCUMENT TYPE:
                        Patent
PATENT INFORMATION:
                        NUMBER
                                         KIND DATE
                        ______
                        WO 2003050124
                                            A1 20030619
DESIGNATED STATES
                        AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR
       W:
                        CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID
                        IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD
                        MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SC SD SE SG
                        SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW
                        GH GM KE LS MW MZ SD SL SZ TZ UG ZM ZW
       RW (ARIPO):
                        AM AZ BY KG KZ MD RU TJ TM
       RW (EAPO):
                        AT BE BG CH CY CZ DE DK EE ES FI FR GB GR IE IT LU MC
       RW (EPO):
                        NL PT SE SI SK TR
                        BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG
       RW (OAPI):
APPLICATION INFO.:
                        WO 2002-IB5315
                                           A 20021212
PRIORITY INFO.:
                       IN 2001-1242/DEL/2001
                                               20011213
      The present invention relates to a novel crystalline cefdinir potassium
ABEN
       dihydrate, to a process for its preparation and to a method of preparing
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pure cefdinir via the crystalline salt.
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La presente invention se rapporte a un nouveau dihydrate de cefdinir ABFR potassium cristallin, a un procede de preparation de ce dernier, et a un procede de preparation de cefdinir pur par l'intermediaire du sel cristallin.

4,935,507, which is incorporated herein by reference. Alternatively, DETD amorphous form of

cefdinir similar to that produced by the method described in U.S. Patent No. 4,559,334 may also be obtained via the purification process. .

ANSWER 10 OF 19 ACCESSION NUMBER: TITLE (ENGLISH):

PCTFULL COPYRIGHT 2006 Univentio on STN 2002098884 PCTFULL ED 20021218 EW 200250

CRYSTALLINE ACID SALTS OF CEFDINIR AND PROCESS FOR PREPARING CEFDINIR USING SAME

TITLE (FRENCH):

INVENTOR(S):

SELS D'ACIDE CRISTALLIN DE CEFDINIR ET PROCEDE DE PREPARATION DE CEFDINIR AU MOYEN DE CES SELS

LEE, Gwan, Sun, Keukdong Apt. 2-806, Karak-dong,

Songpa-gu, Seoul 138-160, KR [KR, KR];

CHANG, Young, Kil, #34-4, Samjeon-dong, Songpa-gu,

Seoul 138-180, KR [KR, KR];

KIM, Hong, Sun, #290-30, Junghwa-1-dong, Jungrang-gu,

Seoul 131-121, KR [KR, KR];

PARK, Chul, Huyn, Hansoljugong 5danji 511-1005 Jeongja-dong, Bundang-gu, Seongnam-si, Kyungki-do

463-010, KR [KR, KR];

PARK, Gha, Seung, #1273-12, Ilsan-4-dong, Ilsan-gu,

Goyang-si 411-314, Kyungki-do, KR [KR, KR];

KIM, Cheol, Kyung, Jugong-2-cha Apt. 204-402, #111-1, Deokso-ri, Wabu-eup, Namyangju-si 472-900, Kyungki-do,

KR [KR, KR]

PATENT ASSIGNEE(S):

AGENT:

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designates States except US;

LEE, Gwan, Sun, Keukdong Apt. 2-806, Karak-dong, Songpa-gu, Seoul 138-160, KR [KR, KR], for US only; CHANG, Young, Kil, #34-4, Samjeon-dong, Songpa-gu,

Seoul 138-180, KR [KR, KR], for US only;

KIM, Hong, Sun, #290-30, Junghwa-1-dong, Jungrang-gu,

Seoul 131-121, KR [KR, KR], for US only;

PARK, Chul, Huyn, Hansoljugong 5danji 511-1005 Jeongja-dong, Bundang-gu, Seongnam-si, Kyungki-do

463-010, KR [KR, KR], for US only;

PARK, Gha, Seung, #1273-12, Ilsan-4-dong, Ilsan-gu, Goyang-si 411-314, Kyungki-do, KR [KR, KR], for US

only;

KIM, Cheol, Kyung, Jugong-2-cha Apt. 204-402, #111-1, Deokso-ri, Wabu-eup, Namyangju-si 472-900, Kyungki-do,

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Yangjae-dong, Seocho-ku, Seoul 137-130\$, KR

English English

LANGUAGE OF PUBL.: DOCUMENT TYPE: Patent PATENT INFORMATION:

LANGUAGE OF FILING:

NUMBER KIND DATE WO 2002098884 A1 20021212

Page 12

DESIGNATED STATES

W: CN JP US

RW (EPO): AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE

TR

APPLICATION INFO.: WO 2002-KR1064 A 20020605 PRIORITY INFO.: KR 2001-2001/31339 20010605

ABEN High purity cefdinir is prepared in a high yield by a process comprising

the steps

of: treating a cefdinir intermediate with a formic acid-sulfuric acid

mixture

or a formic acid-methanesulfonic acid mixture to obtain a crystalline

salt of

cefdinir and reacting the crystalline salt with a base in a solvent.

ABFR Selon l'invention, du cefdinir pur est prepare en grande quantite par le biais d'un procede consistant: a traiter un intermediaire de cefdinir avec un melange d'acide sulfurique et d'acide formique pour un melange d'acide methansulfonique et d'acide

formique afin d'obtenir un sel cristallin de cefdinir, et a faire

reagir ce sel cristallin avec une base dans un solvant.

DETD US Patent No. 4,935,507 discloses a method of producing crystalline cefdinir, which comprises the steps of reacting amorphous cefdinir with an acid

in a solvent and adding a non-polar solvent thereto to precipitate an $\operatorname{acid-added}$

salt of cefdinir, e.g., cefflinirMl, cefdinir.H2SO4. . .

The acid salts of cefdinir prepared in accordance with the present invention are novel crystalline monosulfuric acid and monomethanesulfonic

acid salt of **cefdinir**, unlike the **amorphous** acid salts disclosed in the prior art.

L24 ANSWER 11 OF 19 USPATFULL on STN DUPLICATE 3

ACCESSION NUMBER:

2006:111756 USPATFULL

TITLE: Novel amorphous hydrate of a cephalosporin antibiotic

INVENTOR(S): Deshpande, Pandurang Balwant, C-' "CEEBROS". Plot No.

32 (New) 1st Avenue,, Indira nagar,, Chennai, INDIA

600 020

Khadangale, Bhausaheb Pandharinath, Chennai, INDIA

Ramasubbu, Chandrasekaran, Chennai, INDIA

PATENT ASSIGNEE(S): Orchid Chemicals and Pharmaceuticals Ltd., Chennai,

INDIA, 600 034 (non-U.S. corporation)

20050513 PCT 371 date

NUMBER DATE

PRIORITY INFORMATION: IN 2002-8482002 20021115 IN 2003-1522003 20030226

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: OLIFF & BERRIDGE, PLC, P.O. BOX 19928, ALEXANDRIA, VA,

22320, US

```
NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1
```

NUMBER OF DRAWINGS: 1 Drawing Page(s)

LINE COUNT: 456

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

##STR1## A process for the preparation of cefdinir of the formula (I) the said process comprising the steps of: i) condensing 7-amino-3-cephem-4-carboxylic acid of the formula (XII) wherein R1 is as defined above with compound of the formula (XIII) in the presence of a tertiary amine and an organic solvent, followed by treatment with a base to produce a salt of compound formula (XIV), wherein M+ is a counter ion and ii) hydrolyzing the compound of the formula (XIV) using an acid in the presence of a solvent to produce cefdinir of formula (I).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . present invention relates to a novel amorphous hydrate of a cephalosporin antibiotic. More particularly, the present invention relates to novel amorphous monohydrate of cefdinir of the formula (I). ##STR2## The present invention also provides a process for the preparation of the novel amorphous monohydrate of cefdinir of formula (I).

SUMM The main objective of the present invention is to provide a novel amorphous monohydrate of **cefdinir** which has very good bioavailability and useful in developing different dosage forms.

SUMM Another objective of the present invention is to provide a commercially viable process for the preparation of **cefdinir** and novel **amorphous** monohydrate of **cefdinir** of the formula (I), which would be easy to implement on manufacturing scale.

SUMM Another embodiment of the present invention provides a novel amorphous monohydrate of cefdinir of the formula (I).

##STR8##

SUMM In yet another embodiment of the present invention, there is provided a process for the preparation of novel **amorphous** monohydrate of **cefdinir** of the formula (I) comprising hydrolyzing the compound of the formula (XV) ##STR9## comprising the steps of:

i) adding. . . to 40° C.,

iii) cooing the resulting solution rapidly to -40 to 0° and

iv) isolating the novel amorphous monohydrate of cefdinir
 of the formula (I).

SUMM In yet another embodiment of the present invention, there is provided a process for the preparation of novel **amorphous** monohydrate of **cefdinir** of the formula (I) comprising hydrolyzing the compound of the formula (XV) ##STR10## comprising the steps of

- i) adding. . addition of an acid at a temperature in the range of 10 to $40\,^{\circ}$ C.,
- DETD . . . observation that rapid cooling of the aqueous solvent solution of cefdinir to low temperatures and adding the acid rapidly produces amorphous cefdinir. The technique can be achieved either by cooling the aqueous solvent solution to low temperatures and adding the acid rapidly. . .

DETD . . . be markedly attractive, both from commercial point of view, as well as from manufacturing viewpoint and affords good quality of amorphous cefdinir of the formula (I).

CLM What is claimed is:

9. A novel amorphous monohydrate of cefdinir of the
formula (I) ##STR18##

06/27/2006

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10. The process for the preparation of novel amorphous monohydrate of cefdinir of the formula (I) as claimed in claim 9, comprising hydrolyzing the compound of the formula (XV) ##STR19## comprising the. . . of 10 to 40° C., iii) cooing the resulting solution rapidly to -40 to 0° and iv) isolating the novel amorphous monohydrate of cefdinir of the formula (I).
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11. The process for the preparation of novel amorphous monohydrate of **cefdinir** of the formula (I) as claimed in claim 9, comprising hydrolyzing the compound of the formula (XV) ##STR20## comprising the. . . rapid addition of an acid at a temperature in the range of 10 to 40° C., iv) isolating the novel amorphous monohydrate of **cefdinir** of the formula (I).

L24 ANSWER 12 OF 19 USPATFULL on STN

DUPLICATE 4

ACCESSION NUMBER: 2006:81084 USPATFULL Stable amorphous cefdinir

INVENTOR(S): Sever, Nancy E., Arlington Heights, IL, UNITED STATES

Law, Devalina, Libertyville, IL, UNITED STATES

NUMBER KIND DATE US 2006069079 A1 20060330 PATENT INFORMATION: US 2004-821695 A1 20040927 (10) APPLICATION INFO.: DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT: LEGAL REPRESENTATIVE: ROBERT DEBERARDINE, ABBOTT LABORATORIES, 100 ABBOTT PARK ROAD, DEPT. 377/AP6A, ABBOTT PARK, IL, 60064-6008, US

NUMBER OF CLAIMS: 10 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 16 Drawing Page(s)

LINE COUNT: 520

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to stable amorphous 7-[2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamide]-3-vinyl-3-cephem-4-carboxylic acid (syn isomer), methods for its preparation, and pharmaceutical compositions comprising stable amorphous 7-[2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamide]-3-vinyl-3-cephem-4-carboxylic acid (syn isomer).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

TI Stable amorphous cefdinir

SUMM The present invention provides a stable **amorphous**Cefdinir as well as formulations thereof, methods for their preparation, and pharmaceutical compositions and uses thereof. Pharmaceutical compositions comprising cefdinir are. . .

DRWD FIG. 2: X-ray pattern of amorphous Cefdinir

DRWD FIG. 3: FTIR of amorphous Cefdinir

DRWD FIG. 4: TGA scan of Amorphous Cefdinir

DRWD FIG. 5: TGA thermogram of **amorphous Cefdinir** during an isothermal hold at 25° C.

DRWD FIG. 7: X-ray pattern of amorphous Cefdinir with Eudragit EPO

DRWD FIG. 8: FT-IR spectrum of amorphous Cefdinir/EPO and crystalline Cefdinir

DRWD FIG. 9: FT-IR spectrum of amorphous Cefdinir/EPO, amorphous Cefdinir, and Eudragit EPO

- DRWD FIG. 10: TGA scan of Amorphous Cefdinir with Eudragit EPO FIG. 11: TGA thermogram of amorphous Cefdinir in DRWD Eudragit EPO during an isothermal hold at 25° C. DRWD FIG. 13: FT-IR spectrum of amorphous Cefdinir/PVP and crystalline Cefdinir DRWD FIG. 14: FT-IR spectra of amorphous Cefdinir/PVP, amorphous Cedfinir, and PVP DRWD FIG. 15: TGA scan of Amorphous Cefdinir in PVP DRWD FIG. 16: TGA thermogram amorphous Cefdinir in PVP during an isothermal hold at 25° C. DETD Amorphous Cefdinir DETD Amorphous Cefdinir was isolated by evaporating a methanolic solution. The amorphous material was physically stable. DETD spectrum is an average of 64 scans at 4 cm.sup.-1 resolution. FIG. 3 compares the spectra of the crystalline and amorphous Cefdinir powders. The spectrum showed peaks at locations consistent with the crystalline material indicating that the amorphous material is chemically similar. DETD Amorphous Cefdinir with Eudragit EPO DETD Stable amorphous Cefdinir with Eudragit EPO was made and isolated by evaporating a methanolic solution. The amorphous material was physically stable. DETD Characterization of Amorphous Cefdinir with Eudragit EPO . . the FT-IR spectrum, the spectrum is an average of 64 scans at DETD 4 cm.sup. - resolution. A comparison of the crystalline Cefdinir and the amorphous Cefdinir/Eudragit EPO sample is shown in FIG. 8. The spectra are similar and confirm the presence of Cefdinir in the amorphous material. As shown in FIG. 9, the Cefdinir/Eudragit EPO powder showed peaks at locations consistent with both the Amorphous Cefdinir and Eudragit EPO. DETD Amorphous Cefdinir with PVP DETD Amorphous cefdinir with PVP was made and isolated by evaporating a methanolic solution. The amorphous material was physically stable. Characterization Amorphous Cefdinir with PVP DETD DETD . the FT-IR analysis, the spectrum is an average of 64 scans at 4 cm.sup.-1 resolution. A comparison of the crystalline Cefdinir and the amorphous Cefdinir/PVP sample is shown in FIG. 13. The spectra are similar and confirm the presence of Cefdinir in the amorphous material. As shown in FIG. 14, the Cefdinir/PVP powder showed peaks at locations consistent with both the Amorphous Cefdinir and PVP. Due to the large amount of PVP present (80 wt %), the spectrum of the amorphous Cefdinir/PVP is more similar to that of PVP. DETD The process for preparation of stable amorphous cefdinir is critical. The use of the combination of cefdinir monohydrate and methanol allows rapid dissolution rate and avoids chemical degradation.. DETD Compositions comprising amorphous cefdinir are within the scope of this invention. In additon, formulations comprising the amorphous material with polymers such as, but not limited to, PVP and Eudragit, as well as methods of preparing stable amorphous
- CLM What is claimed is:
 4. A pharmaceutical composition comprising compound of claim 1 wherein the stable amorphous cefdinir is combined with a

cefdinir and formulations thereof are also within the scope of

the present invention.

polymer.

- 5. A pharmaceutical composition comprising compound of claim 1 wherein the stable amorphous cefdinir is combined with a amorphous anionic polymer with an acid dissociation constant greater than 2.
- 7. A pharmaceutical composition comprising compound of claim 1 wherein the stable amorphous cefdinir is combined with an amorphous polymer.
- 9. A process for producing stable amorphous cefdinir comprising combining cefdinir monohydrate in a methanolic solution and evaporating the solution.
- 10. A process for producing stable amorphous cefdinir comprising combining cefdinir monohydrate in an organic solvent in which the solubility of cefdinir monohydrtae isw greater than 0.5 mg/ml and evaoporating the. .

L24 ANSWER 13 OF 19 USPATFULL on STN

ACCESSION NUMBER: 2006:28565 USPATFULL

TITLE: Crystalline anhydrous cefdinir and crystalline cefdinir

hydrates

INVENTOR(S): Law, Devalina, Libertyville, IL, UNITED STATES

Henry, Rodger F., Wildwood, IL, UNITED STATES Lou, Xiaochun, Long Grove, IL, UNITED STATES

KIND DATE NUMBER _______ US 2006025399 A1 20060202 US 2005-177202 A1 20050708 (11)

APPLICATION INFO.:

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2005-72568, filed

on 3 Mar 2005, PENDING

NUMBER DATE PRIORITY INFORMATION: US 2004-553643P 20040316 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: ROBERT DEBERARDINE, ABBOTT LABORATORIES, 100 ABBOTT

PARK ROAD, DEPT. 377/AP6A, ABBOTT PARK, IL, 60064-6008,

US

NUMBER OF CLAIMS: 65 EXEMPLARY CLAIM:

PATENT INFORMATION:

NUMBER OF DRAWINGS: 8 Drawing Page(s)

2596 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A novel crystalline cefdinir anhydrate and novel crystalline cefdinir AB hydrates, ways to make them and use them, compositions comprising them and made with them, and methods of treatment using them are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The terms "cefdinir" and "a cefdinir" as used herein, mean amorphous cefdinir, a crystalline cefdinir DETD

anhydrate, a crystalline cefdinir lower hydrate and iso-structural hydrates thereof, crystalline cefdinir trihemihydrate with or without surface water, microcrystalline cefdinir,.

DETD . . . mixtures comprising one or more than one crystalline cefdinirs of this invention in combination with one or more than one cefdinirs including, but not limited to, amorphous cefdinir, microcrystalline Cefdinir, Cefdinir

Crystalline Form A, a crystalline cefdinir having a water content of 4.11%, when measured with radiation at 1.54178 Å, comprising.

DETD A cefdinir may be administered with or without an excipient and with or without amorphous cefdinir. Excipients include but are not limited to, for example, encapsulating materials and additives

such as absorption accelerators, antioxidants, binders, buffers,. . . Amorphous cefdinir may be prepared as described in

DETD U.S. Pat. No. 4,559,334, of which column 2, line 15 to column 11 line.

It is meant to be understood that amorphous cefdinir DETD , a crystalline cefdinir anhydrate, a crystalline cefdinir lower hydrate or an iso-structural hydrates thereof, crystalline cefdinir trihemihydrate with or without surface water, microcrystalline.

L24 ANSWER 14 OF 19 USPATFULL on STN

2005:158972 USPATFULL ACCESSION NUMBER:

Novel crystalline form of cefdinir TITLE: INVENTOR(S): Dandala, Ramesh, Hyderabad, INDIA

Sivakumaran, Meenakshisunderam, Hyderabad, INDIA

KIND DATE NUMBER -----US 2005137182 A1 20050623 US 2004-976230 A1 20041029 (10) PATENT INFORMATION:

APPLICATION INFO.:

Continuation-in-part of Ser. No. US 2004-634978, filed RELATED APPLN. INFO.:

on 24 Feb 2004, PENDING

NUMBER DATE _____

IN 2003-4402003 20030602 PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

WINSTON & STRAWN LLP, 1700 K STREET, N.W., WASHINGTON, LEGAL REPRESENTATIVE:

DC, 20006, US

NUMBER OF CLAIMS: 22 EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 4 Drawing Page(s)

LINE COUNT: 493

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to novel crystalline form of Cefdinir, 7β -[(Z)-2-(2-amino-4-thiazolyl)-2-hydroxyiminoacetamido]-3-vinyl-3cephem-4-carboxylic acid, herein referred as cefdinir crystal B, processes for preparing cefdinir crystal B, and the incorporation of cefdinir crystal B in pharmaceutical compositions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

. . that offered better filtration rate, high purity and stable SUMM cefdinir suitable for pharmaceutical preparation. This material was prepared by treating amorphous cefdinir with sodium bicarbonate solution and the resulting aqueous solution was subjected to column chromatography and then adjusting the pH between 1-2 at 35-40° C. followed by cooling to obtain **cefdinir** crystal A. Alternatively, amorphous cefdinir was dissolved in methanol and to this solution added water at 35° C., stirred and allowed to stand at room.

L24 ANSWER 15 OF 19 USPATFULL on STN ACCESSION NUMBER: 2005:93576 USPATFULL

TITLE: Crystalline cefdinir potassium dihydrate

INVENTOR(S): Kumar, Yatendra, Gurgaon, INDIA Prasad, Mohan, Gurgaon, INDIA Prasad, Ashok, New Delhi, INDIA

Singh, Shailendra Kumar, Gurgaon, INDIA Kumar, Neela Praveen, Hyderabad, INDIA

Berch 10/532,753

NUMBER DATE

PRIORITY INFORMATION: IN 2001-12422001 20011213

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Jayadeep R Deshmukh, Ranbaxy Inc, Suite 2100, 600

College Road East, Princeton, NJ, 08540, US

NUMBER OF CLAIMS: 19 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 2 Drawing Page(s)

LINE COUNT: 203

AB The present invention relates to a novel crystalline cefdinir potassium dihydrate, to a process for its preparation and to a method of preparing pure cefdinir via the crystalline salt.

DETD . . . may be obtained as crystal A as described in U.S. Pat. No. 4,935,507, which is incorporated herein by reference. Alternatively, amorphous form of cefdinir similar to that produced by the method described in U.S. Pat. No. 4,559,334 may also be obtained via

the method described in U.S. Pat. No. 4,559,334 may also be obtained via the purification. . .

L24 ANSWER 16 OF 19 USPATFULL on STN

ACCESSION NUMBER: 2004:307880 USPATFULL

TITLE: Novel crystalline form of cefdinir INVENTOR(S): Dandala, Ramesh, Hyderabad, INDIA

Sivakumaran, Meenakshisunderam, Hyderabad, INDIA

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Jay R Akhave, 845 Pomello Dr, Claremont, CA, 91711

NUMBER OF CLAIMS: 7 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 4 Drawing Page(s) LINE COUNT: 291

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel crystalline form of Cefdinir, 7β -[(Z)-2-(2-amino-4-thiazolyl)-2-hydroxyiminoacetamido]-3-vinyl-3-cephem-4-carboxylic acid, herein called as cefdinir crystal B, process to prepare it and the use of cefdinir crystal B in pharmaceutical compositions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM

. . . cefdinir that offered better filtration rate, high purity and stable cefdinir suitable for pharmaceutical preparation. This was prepared by treating amorphous cefdinir with sodium bicarbonate solution and the resulting aqueous solution was subjected to column chromatography and then adjusting the pH between 1-2 at $35-40^{\circ}$ C. followed by cooling to obtain cefdinir

crystals A. Alternatively, amorphous cefdinir was

dissolved in methanol and to this solution added water at 35° C., stirred and allowed to stand at room. . .

L24 ANSWER 17 OF 19 USPATFULL on STN

ACCESSION NUMBER: 2004:268506 USPATFULL

TITLE: Crystalline acid salts of cefdinir and process for

preparing cefdinir using same

INVENTOR(S): Lee, Gwan-Sun, Seoul, KOREA, REPUBLIC OF

Chang, Young-Kil, Seoul, KOREA, REPUBLIC OF Kim, Hong-Sun, Seoul, KOREA, REPUBLIC OF

Park, Chul-Hyun, Seongnam-si Kyungki-do, KOREA,

REPUBLIC OF

Park, Gha-Seung, Goyang-si Kyungki-do, KOREA, REPUBLIC

OF

Kim, Cheol-Kyung, Namyangju-si Kyungki-do, KOREA,

REPUBLIC OF

NUMBER DATE

PRIORITY INFORMATION: KR 2001-31339 20010605

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: David Einhorn, Anderson Kill & Olick, 1251 Avenue of

the Americas, New York, NY, 10020

NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 4 Drawing Page(s)

LINE COUNT: 322

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB High purity cefdinir is prepared in a high yield by a process comprising the steps of: treating a cefdinir intermediate with a formic

acid-sulfuric acid mixture or a formic acid-methanesulfonic acid mixture to obtain a crystalline salt of cefdinir and reacting the crystalline

salt with a base in a solvent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM [0003] U.S. Pat. No. 4,935,507 discloses a method of producing crystalline cefdinir, which comprises the steps of reacting amorphous cefdinir with an acid in a solvent and

adding a non-polar solvent thereto to precipitate an acid-added salt of

DETD

cefdinir, e.g.,. . .
. . salts of cefdinir prepared in accordance with the present invention are novel crystalline monosulfliric acid and monomethanesulfonic acid salt of cefdinir, unlike the amorphous acid salts disclosed in the prior art.

L24 ANSWER 18 OF 19 INVESTEXT COPYRIGHT 2006 TFS on STN

Accession No.: 2008:945560 INVESTEXT(tm) REPORT NUMBER:11232354

Page No.: PAGE 3 OF 10 11232354 Document No.:

Title: ABBOTT LABORATORIES Author: BIEGELSEN, L., ET AL

Corp. Source: PRUDENTIAL EQUITY GROUP, INC.; NEW YORK (STATE OF) MID-ATLANTIC/MIDDLE ATLANTIC REGION; UNITED STATES OF Region:

AMERICA; NORTH AMERICA

Publication Date: 16 May 2006 COMPANY REPORT Report Type:

File Segment: Text Page; COMPANY REPORT

Text Word Count: 593

DISCUSSION

TEXT

. . crystalline form of the Cefdinir disclosed in the '334 patent which is said to have better properties of stability than a purportedly amorphous form of Cefdinir that is obtained if one follows the methods for production and synthesis of Cefdinir disclosed in the '334 patent. This patent, originally.

L24 ANSWER 19 OF 19 INVESTEXT COPYRIGHT 2006 TFS on STN

Accession No.: 2008:945559 INVESTEXT(tm) REPORT NUMBER:11232354

PAGE 4 OF 10 Page No.: Document No.: 11232354

ABBOTT LABORATORIES Title: Author: BIEGELSEN, L., ET AL

PRUDENTIAL EQUITY GROUP, INC.; NEW YORK (STATE OF) Corp. Source: MID-ATLANTIC/MIDDLE ATLANTIC REGION; UNITED STATES OF Region:

AMERICA; NORTH AMERICA

Publication Date: 16 May 2006 COMPANY REPORT Report Type:

File Segment: Text Page; COMPANY REPORT

Text Word Count: 627

DISCUSSION SH

TEXT

Cefdinir . . . duplicate the pharmacokinetic profile of the innovator while avoiding processing patents. It may be possible to formulate a product containing the "crystalline-like amorphous form" of Cefdinir disclosed in the '334 patent. Patent coverage for this ceases in May of 2007. After that, even if the '507 patent is. . .